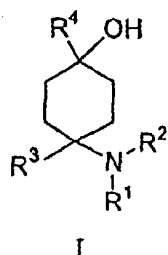


What is claimed is:

1. A substituted 4-aminocyclohexanol compound corresponding to formula I,



wherein

R^1 and R^2 independently of one another represent H; C_{1-8} -alkyl or C_{3-8} -cycloalkyl, in each case saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted; aryl- or heteroaryl, in each case mono- or polysubstituted or unsubstituted; or aryl, C_{3-8} -cycloalkyl or heteroaryl bonded via C_{1-3} -alkylene and in each case mono- or polysubstituted or unsubstituted; wherein R^1 and R^2 are not both H, or

the radicals R^1 and R^2 together form a ring and represent

$CH_2CH_2OCH_2CH_2$, $CH_2CH_2NR^5CH_2CH_2$ or $(CH_2)_{3-6}$,

wherein

R^5 represents H; C_{1-8} -alkyl or C_{3-8} -cycloalkyl, in each case saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted; aryl- or heteroaryl, in each case mono- or polysubstituted or unsubstituted; or aryl, C_{3-8} -cycloalkyl or heteroaryl bonded via C_{1-3} -alkylene and in each case mono- or polysubstituted or unsubstituted;

R³ represents C₁₋₈-alkyl or C₃₋₈-cycloalkyl, in each case saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted; or aryl, C₃₋₈-cycloalkyl or heteroaryl bonded via a saturated or unsaturated, branched or unbranched, substituted or unsubstituted C₁₋₄-alkyl group and in each case unsubstituted or mono- or polysubstituted;

R⁴ represents C₃₋₈-cycloalkyl, aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted; -CHR⁶R⁷, -CHR⁶-CH₂R⁷, -CHR⁶-CH₂-CH₂R⁷, -CHR⁶-CH₂-CH₂-CH₂R⁷, -C(Y)R⁷, -C(Y)-CH₂R⁷, -C(Y)-CH₂-CH₂R⁷ or -C(Y)-CH₂-CH₂-CH₂R⁷; or -R⁸-L-R⁹

wherein

Y = O, S or H₂;

R⁶ represents H; C₁₋₇-alkyl, saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted; or C(O)O-C₁₋₆-alkyl, saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted;

R⁷ represents H; C₃₋₈-cycloalkyl, aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted;

R⁸ represents aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted;

L represents -C(O)-NH-, -NH-C(O)-, -C(O)-O-, -O-C(O)-, -O-, -S- or -S(O)₂-; and

R⁹ represents aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted,

or a salt thereof with a physiologically tolerated acid.

2. The compound of claim 1, wherein said compound is in the form of a free base.
3. The compound of claim 1, wherein said compound is present in the form of a pure enantiomer or pure diastereoisomer.
4. The compound of claim 1, wherein said compound is present in the form of a mixture of stereoisomers.
5. The compound of claim 1, wherein said compound is present in the form of a racemic mixture.
6. The compound of claim 1, wherein said compound is present in the form of a solvate.
7. The compound of claim 1, wherein said compound is present in the form of a hydrate.
8. The compound of claim 1, wherein
R¹ and R² independently of one another represent H or
C₁₋₈-alkyl, saturated or unsaturated, branched or unbranched, mono- or
polysubstituted or unsubstituted; wherein R¹ and R² are not both H,
or
R¹ and R² together form a ring and represent CH₂CH₂OCH₂CH₂,
CH₂CH₂NR⁵CH₂CH₂ or (CH₂)₃₋₆,
wherein R⁵ represents H or
C₁₋₈-alkyl, saturated or unsaturated, branched or unbranched,
mono- or polysubstituted or unsubstituted.

9. The compound of claim 8, wherein:
R¹ and R² independently of one another represent H or
C₁₋₄-alkyl, saturated or unsaturated, branched or unbranched, mono- or
polysubstituted or unsubstituted; where R¹ and R² are not both H,
or
R¹ and R² together form a ring and represent (CH₂)₄₋₅.
10. The compound of claim 9, wherein:
R¹ and R² independently of one another represent methyl or ethyl or R¹
and R² together form a ring and represent (CH₂)₅.
11. The compound of claim 1, wherein:
R³ represents C₃₋₈-cycloalkyl, unsubstituted or mono- or polysubstituted;
or aryl, C₃₋₈-cycloalkyl or heteroaryl bonded via a saturated or
unsaturated, unbranched, substituted or unsubstituted C₁₋₄-alkyl group
and in each case unsubstituted or mono- or polysubstituted.
12. The compound of claim 1, wherein:
R³ represents C₅₋₆-cycloalkyl, unsubstituted or mono- or polysubstituted;
or C₅₋₆-cycloalkyl, phenyl, naphthyl, anthracenyl, thiophenyl,
benzothiophenyl, pyridyl, furyl, benzofuranyl, benzodioxolanyl, indolyl,
indanyl, benzodioxanyl, pyrrolyl, pyrimidyl or pyrazinyl bonded via a
saturated, unbranched C₁₋₂-alkyl group and in each case unsubstituted or
mono- or polysubstituted.
13. The compound of claim 1, wherein:

R³ represents phenyl, pyridyl, furyl or thiophenyl bonded via a saturated, unbranched C₁₋₂-alkyl group and in each case unsubstituted or mono- or polysubstituted.

14. The compound of claim 1, wherein:

R⁴ represents C₃₋₈-cycloalkyl, aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted; or -R⁸-L-R⁹.

15. The compound of claim 1, wherein:

R⁴ represents cyclobutyl, cyclopropyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, anthracenyl, indolyl, naphthyl, benzofuranyl, benzothiophenyl, indanyl, benzodioxanyl, benzodioxolanyl, acenaphthyl, carbazolyl, phenyl, thiophenyl, furyl, pyridyl, pyrrolyl, pyrazinyl or pyrimidyl, fluorenyl, fluoranthenyl, benzothiazolyl, benzotriazolyl or benzo[1,2,5]thiazolyl or 1,2-dihydroacenaphthenyl, pyridinyl, furanyl, benzofuranyl, pyrazolinonyl, oxopyrazolinonyl, dioxolanyl, adamantyl, pyrimidinyl, quinolinyl, isoquinolinyl, phthalazinyl or quinazolinyl, in each case unsubstituted or mono- or polysubstituted; or -R⁸-L-R⁹.

16. The compound of claim 1, wherein:

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R⁴ represents cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, anthracenyl, indolyl, naphthyl, benzothiazolyl, benzofuranyl, benzothiophenyl, indanyl, benzodioxanyl, benzodioxolanyl, acenaphthyl, carbazolyl, phenyl, thiophenyl, furyl, pyridyl, pyrrolyl, pyrazinyl or pyrimidyl, in each case unsubstituted or mono- or polysubstituted; or -R⁸-L-R⁹.

17
16. The compound of claim 1, wherein:

R⁸ represents indolyl, naphthyl, benzofuranyl, benzothiophenyl, indanyl, benzodioxanyl, benzodioxolanyl, acenaphthyl, carbazolyl, phenyl, thiophenyl, furyl, pyridyl, pyrrolyl, pyrazinyl or pyrimidyl, fluorenyl, fluoranthenyl, benzothiazolyl, benzotriazolyl or benzo[1,2,5]thiazolyl or 1,2-dihydroacenaphthenyl, pyridinyl, furanyl, benzofuranyl, pyrazolinonyl, oxopyrazolinonyl, pyrimidinyl, quinoliny, isoquinoliny, phthalazinyl or quinazolinyl, in each case unsubstituted or mono- or polysubstituted;
L represents -C(O)-NH-, -NH-C(O)-, -C(O)-O-, -O-C(O)-, -O-, -S- or -S(O)₂-;

or

R⁹ represents indolyl, naphthyl, benzofuranyl, benzothiophenyl, indanyl, benzodioxanyl, benzodioxolanyl, acenaphthyl, carbazolyl, phenyl, thiophenyl, furyl, pyridyl, pyrrolyl, pyrazinyl or pyrimidyl, fluorenyl, fluoranthenyl, benzothiazolyl, benzotriazolyl or benzo[1,2,5]thiazolyl or 1,2-dihydroacenaphthenyl, pyridinyl, furanyl, benzofuranyl, pyrazolinonyl, oxopyrazolinonyl, pyrimidinyl, quinoliny, isoquinoliny, phthalazinyl or quinazolinyl, in each case unsubstituted or mono- or polysubstituted.

8/
17.

The compound of claim 1, wherein:

R⁸ represents indolyl, benzothiophenyl, phenyl, thiophenyl, furyl, pyridyl, pyrrolyl, pyrazinyl or pyrimidyl, in each case unsubstituted or mono- or polysubstituted;

L represents -C(O)-NH-, -NH-C(O)-, -C(O)-O-, -O-C(O)- or -S(O)₂-;

or

R⁹ represents indolyl, benzothiophenyl, phenyl, thiophenyl, furyl, pyridyl, pyrrolyl, pyrazinyl or pyrimidyl, in each case unsubstituted or mono- or polysubstituted.

~~19~~
~~18.~~

The compound of claim 1, wherein:

R⁸ represents unsubstituted indolyl;

L represents -S(O)₂-; and

R⁹ represents unsubstituted phenyl.

~~20~~
~~19.~~

The compound of claim 1, wherein:

R⁴ represents -CHR⁶R⁷, -CHR⁶-CH₂R⁷, -CHR⁶-CH₂-CH₂R⁷, -CHR⁶-CH₂-CH₂-CH₂R⁷, -C(Y)R⁷, -C(Y)-CH₂R⁷, -C(Y)-CH₂-CH₂R⁷ or -C(Y)-CH₂-CH₂-CH₂R⁷ and Y = O, S or H₂.

~~21~~
~~20.~~

The compound of claim 1, wherein:

R⁴ represents -CHR⁶R⁷, -CHR⁶-CH₂R⁷, -CHR⁶-CH₂-CH₂R⁷, -C(Y)R⁷, -C(Y)-CH₂R⁷ or -C(Y)-CH₂-CH₂R⁷ and Y = O or S.

~~22~~
~~21.~~

The compound of claim 1, wherein:

R⁴ represents -CHR⁶R⁷, -CHR⁶-CH₂R⁷, -C(Y)R⁷ or -C(Y)-CH₂R⁷ and Y = O.

~~23~~
~~22.~~

The compound of claim ~~19~~²⁰, wherein:

R⁶ represents H;

C₁₋₄-alkyl, saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted; or

C(O)O-C₁₋₄-alkyl, saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted.

~~24~~
~~23.~~

The compound of claim ~~19~~²⁰, wherein:

R⁶ represents H; or

C₁₋₄-alkyl, saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted.

²⁵
~~24.~~ The compound of claim ²⁰~~19~~, wherein:
R⁶ represents H, CH₃ or C₂H₅.

²⁶
~~25.~~ The compound of claim ²⁰~~19~~, wherein:
R⁷ represents C₃₋₈-cycloalkyl, aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted.

²⁷
~~26.~~ The compound of claim ²⁰~~19~~, wherein:
R⁷ represents cyclobutyl, cyclopropyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, anthracenyl, indolyl, naphthyl, benzofuranyl, benzothiophenyl, indanyl, benzodioxanyl, benzodioxolanyl, acenaphthyl, carbazolyl, phenyl, thiophenyl, furyl, pyridyl, pyrrolyl, pyrazinyl or pyrimidyl, fluorenyl, fluoranthenyl, benzothiazolyl, benzotriazolyl or benzo[1,2,5]thiazolyl or 1,2-dihydroacenaphthenyl, pyridinyl, furanyl, benzofuranyl, pyrazolinonyl, oxopyrazolinonyl, dioxolanyl, adamantyl, pyrimidinyl, quinolinyl, isoquinolinyl, phthalazinyl or quinazolinyl, in each case unsubstituted or mono- or polysubstituted.

²⁸
~~27.~~ The compound of claim ²⁰~~19~~, wherein:
R⁷ represents cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, anthracenyl, indolyl, naphthyl, benzofuranyl, benzothiophenyl, indanyl, benzodioxanyl, benzodioxolanyl, acenaphthyl, carbazolyl, phenyl, thiophenyl, furyl, pyridyl, pyrrolyl, pyrazinyl or pyrimidyl, in each case unsubstituted or mono- or polysubstituted.

²⁹
~~28.~~ The compound of claim 1, wherein said compound is selected from the group consisting of:

- 4-benzyl-4-dimethylamino-1-phenethylcyclohexanol and the corresponding hydrochloride,
- 4-dimethylamino-1,4-diphenethylcyclohexanol and the corresponding hydrochloride,
- 4-benzyl-4-dimethylamino-1-[2-(2-fluorophenyl)ethyl]cyclohexanol and the corresponding hydrochloride,
- 4-benzyl-4-dimethylamino-1-[2-(4-fluorophenyl)ethyl]cyclohexanol and the corresponding hydrochloride,
- 4-dimethylamino-4-(2-fluorobenzyl)-1-phenethylcyclohexanol and the corresponding hydrochloride,
- 4-dimethylamino-4-(3-fluorobenzyl)-1-phenethylcyclohexanol and the corresponding hydrochloride,
- 4-dimethylamino-4-(4-fluorobenzyl)-1-phenethylcyclohexanol and the corresponding hydrochloride,
- 4-benzyl-4-dimethylamino-1-[2-(3-fluorophenyl)ethyl]cyclohexanol and the corresponding hydrochloride
- 4-benzyl-4-dimethylamino-1-(2-fluorobenzyl)cyclohexanol and the corresponding hydrochloride,
- 4-(allylmethylamino)-4-benzyl-1-phenethylcyclohexanol and the corresponding hydrochloride,
- 4-benzyl-4-dimethylamino-1-(3-fluorobenzyl)cyclohexanol and the corresponding hydrochloride,
- 4-benzyl-4-dimethylamino-1-(4-fluorobenzyl)cyclohexanol and the corresponding hydrochloride,

- 1-benzyl-4-dimethylamino-4-(3-fluorobenzyl)cyclohexanol and the corresponding hydrochloride,
- 4-benzyl-1-phenethyl-4-pyrrolidin-1-ylcyclohexanol and the corresponding hydrochloride,
- 4-benzyl-4-dimethylamino-1-(1-methyl-1H-indol-2-yl)cyclohexanol,
- 1-benzo[b]thiophen-2-yl-4-benzyl-4-dimethylaminocyclohexanol,
- 1-benzo[b]thiophen-3-yl-4-benzyl-4-dimethylaminocyclohexanol and
- 1-benzofuran-2-yl-4-benzyl-4-dimethylamino-cyclohexanol.

³⁰
~~29.~~ A pharmaceutical composition comprising:

at least one substituted 4-aminocyclohexanol compound according to claim 1 and an auxiliary agent.

³¹
~~30.~~ The pharmaceutical composition of claim ³⁰~~29~~, wherein said compound is present in the form of a free base.

³²
~~31.~~ The pharmaceutical composition of claim ³⁰~~29~~, wherein said compound is present in the form of a pure enantiomer or pure diastereoisomer.

³³
~~32.~~ The pharmaceutical composition of claim ³⁰~~29~~, wherein said compound is present in the form of a mixture of stereoisomers.

³⁴
~~33.~~ The pharmaceutical composition of claim ³⁰~~29~~, wherein said compound is present in the form of a racemic mixture.

³⁵
~~34.~~ The pharmaceutical composition of claim ³⁰~~29~~, wherein said compound is present in the form of a solvate.

³⁶
~~35.~~ The pharmaceutical composition of claim ~~29~~³⁰, wherein said compound is present in the form of a hydrate.

³⁷
~~36.~~ The pharmaceutical composition of claim ~~29~~³⁰, further comprising an opioid or an anesthetic.

³⁸
~~37.~~ The pharmaceutical composition of claim ~~36~~³⁷, wherein said opioid is morphine.

³⁹
~~38.~~ The pharmaceutical composition of claim ~~36~~³⁷, wherein said anesthetic is hexobarbital or halothane.

⁴⁰
~~39.~~ A method of alleviating pain in a mammal, said method comprising administering to said mammal an effective pain alleviating amount of a compound according to claim 1 or coadministering to said mammal an effective amount of a compound according to claim 1 with an opioid analgesic or with an anesthetic.

⁴¹
~~40.~~ The method of claim ~~39~~⁴⁰, wherein said pain is acute, visceral, neuropathic or chronic pain.

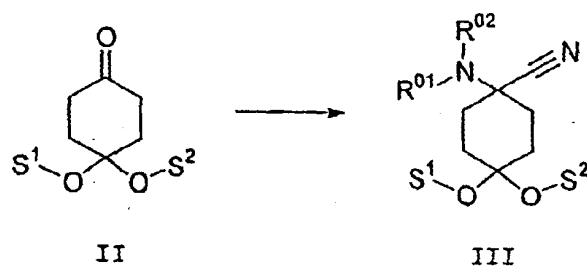
⁴²
~~41.~~ A method of treating or inhibiting anxiety states, stress and stress-associated syndromes, depression, epilepsy, Alzheimer's disease, senile dementia, general cognitive dysfunctions, learning and memory difficulties,

withdrawal symptoms, alcohol abuse or dependency, drug abuse or dependency, sexual dysfunction, cardiovascular disease, hypotension, hypertension, tinnitus, pruritus, migraine, impaired hearing, deficient intestinal motility, impaired food intake, anorexia, obesity, locomotor disorders, diarrhea, cachexia or urinary incontinence in a mammal, said method comprising administering to said mammal an effective amount of a compound according to claim 1 or coadministering to said mammal an effective amount of a compound according to claim 1 with an opioid analgesic or with an anesthetic.

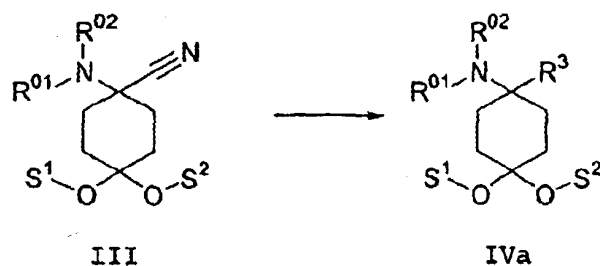
43
42. A method of providing a nootropic, muscle relaxant, anticonvulsive, antitussive, anesthetic, antinatriuresis, or for causing diuresis or anxiolysis, said method comprising the step of administering to a patient in need thereof an effective amount of a compound according to claim 1 or coadministering to said mammal an effective amount of a compound according to claim 1 with an opioid analgesic or with an anesthetic.

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43. A process for producing a substituted 4-aminocyclohexanol compound according to claim 1 comprising the steps of

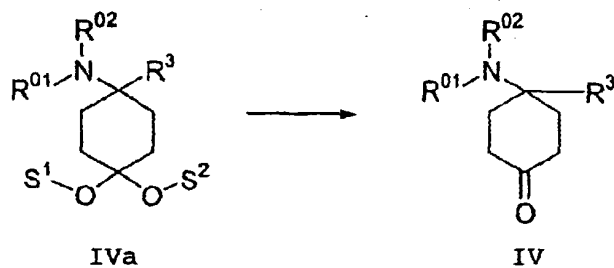
- a. reacting a cyclohexane-1,4-dione, protected with the groups S¹ and S², according to formula II with a cyanide in the presence of a compound of the formula HNR⁰¹R⁰² to give a protected N-substituted 1-amino-4-oxo-cyclohexanecarbonitrile compound corresponding to formula III;



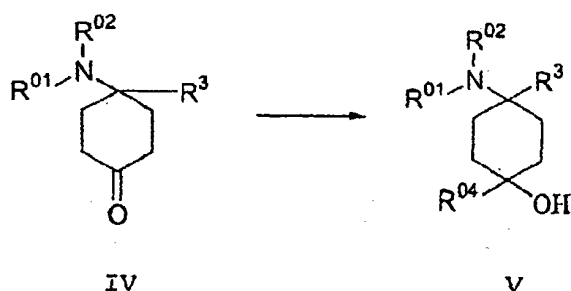
- b. reacting the compound according to formula III with organometallic reagents corresponding to the formula metal- R^3 , so that a compound corresponding to formula IVa is formed;



- c. removing the protective groups S^1 and S^2 on the compound corresponding to formula IVa to form a 4-substituted 4-aminocyclohexanone compound corresponding to formula IV;



- d. reacting the 4-substituted 4-aminocyclohexanone compound corresponding to formula IV with organometallic reagents corresponding to the formula metal- R^3 to form compound corresponding to formula V;



wherein

R^{01} and R^{02} independently of one another represent H; H provided with a protective group; C_{1-8} -alkyl or C_{3-8} -cycloalkyl, in each case saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted; aryl-, or heteroaryl, in each case mono- or polysubstituted or unsubstituted; or aryl, C_{3-8} -cycloalkyl or heteroaryl bonded via C_{1-3} -alkylene and in each case mono- or polysubstituted or unsubstituted;

or the radicals R^{01} and R^{02} together form a ring and represent $CH_2CH_2OCH_2CH_2$, $CH_2CH_2NR^{05}CH_2CH_2$ or $(CH_2)_{3-6}$,

wherein R^{05} represents H; H provided with a protective group; C_{1-8} -alkyl or C_{3-8} -cycloalkyl, in each case saturated or unsaturated, branched or unbranched, mono- or polysubstituted or unsubstituted; aryl-, or heteroaryl, in each case mono- or polysubstituted or unsubstituted; or aryl, C_{3-8} -cycloalkyl or heteroaryl bonded via C_{1-3} -alkylene and in each case mono- or polysubstituted or unsubstituted;

R^{04} represents H; H provided with a protective group; C_{3-8} -cycloalkyl, aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted; $-CHR^6R^7$, $-CHR^6-CH_2R^7$, $-CHR^6-CH_2-CH_2R^7$, $-CHR^6-CH_2-CH_2-CH_2R^7$, $-C(Y)R^7$, $-C(Y)-CH_2R^7$, $-C(Y)-CH_2-CH_2R^7$ or $-C(Y)-CH_2-CH_2-CH_2R^7$; or $-R^8-L-R^9$

wherein $Y = O, S$ or H_2 ,

and S^1 and S^2 independently of one another represent protective groups or together represent a protective group.

~~44~~ ⁴⁵ The process of claim ~~43~~ ⁴⁴, wherein S^1 and S^2 together represent a monoacetal group.

~~45~~ ⁴⁶ The process of claim ~~43~~ ⁴⁴, wherein step a) further comprises: acylating, alkylating or sulfonating the compound corresponding to formula III in any sequence and optionally repeatedly; or where R^{01}, R^{02} or $R^{06} = H$ protected with a protective group, removing at least one protective group and optionally acylating, alkylating or sulfonating the compound corresponding to formula III; or where R^{01} or R^{02} or $R^{06} = H$, introducing at least one protective group and optionally acylating, alkylating or sulfonating the compound corresponding to formula III.

~~46~~ ⁴⁷ The process of claim ~~43~~ ⁴⁴, wherein step b) further comprises: acylating, alkylating or sulfonating the compound corresponding to formula IVa in any sequence and optionally repeatedly; or where R^{01}, R^{02} or $R^{06} = H$ protected with a protective group, removing at least one protective group and optionally acylating, alkylating or sulfonating the compound corresponding to formula IVa; or

where R^{01} or R^{02} or $R^{06} = H$, introducing at least one protective group and optionally acylating, alkylating or sulfonating the compound corresponding to formula IVa.

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The process of claim 43, wherein step c) further comprises:
acylating, alkylating or sulfonating the compound corresponding to formula IV in any sequence and optionally repeatedly; or
where R^{01} , R^{02} or $R^{06} = H$ protected with a protective group, removing at least one protective group and optionally acylating, alkylating or sulfonating the compound corresponding to formula IV; or
where R^{01} or R^{02} or $R^{06} = H$, introducing at least one protective group and optionally acylating, alkylating or sulfonating the compound corresponding to formula IV.

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The process of claim 43, wherein step d) further comprises:
acylating, alkylating or sulfonating the compound corresponding to formula V in any sequence and optionally repeatedly; or
where R^{01} , R^{02} or $R^{06} = H$ protected with a protective group, removing at least one protective group and optionally acylating, alkylating or sulfonating the compound corresponding to formula V; or
where R^{01} or R^{02} or $R^{06} = H$, introducing at least one protective group and optionally acylating, alkylating or sulfonating the compound corresponding to formula V.

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The process of claim 43, wherein the protective groups on H in R^{01} , R^{02} , R^{04} or R^{05} are selected from the group consisting of alkyl groups, benzyl groups and carbamates.

~~51~~ 50. The process of claim ~~49~~ ⁵⁰, wherein the protective groups are selected from the group consisting of fluorenylmethyl-chloroformate (Fmoc), benzyloxycarbonyl (Z) and tert-butyloxycarbonyl (Boc).

~~52~~ 51. The process of claim ~~48~~ ⁴⁴, wherein the cyanide of step a) is potassium cyanide.

~~53~~ 52. The process of claim ~~48~~ ⁴⁴, wherein the organometallic reagents of step b) are Grignard or organolithium reagents.

~~54~~ 53. The process of claim ~~48~~ ⁴⁴, wherein the organometallic reagents of step d) are Grignard or organolithium reagents.